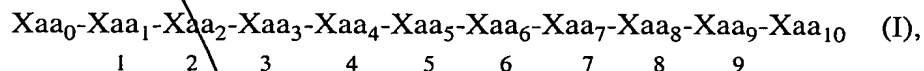


WHAT IS CLAIMED IS:

A compound having a formula:



or a pharmaceutically acceptable salt thereof, wherein

at least one amide bond of an amino acid residue represented by Xaa₃, Xaa₄, Xaa₅, Xaa₆, Xaa₇, Xaa₈, Xaa₉, and Xaa₁₀ is N-alkylated;

Xaa₁ is absent or Xaa₁ is selected from the group consisting of hydrogen, N-methylprolyl, and an acyl group, wherein the acyl group is selected from the group consisting of

~~R¹-(CH₂)_n-C(O)-, wherein n is an integer from 0 to 8 and R¹ is selected from the group consisting of N-acetylamino, alkoxy, alkyl, aryl, carboxy, cycloalkenyl, cycloalkyl, heterocycle, and hydroxy; and~~

$R^2-CH_2CH_2-O-(CH_2CH_2O)_p-CH_2-C(O)-$, wherein p is an integer from 1 to 8 and R^2 is selected from the group consisting of hydrogen, N-acetylamino, and alkyl;

provided that Xaa_1 is absent only when Xaa_2 is N-(R³)-prolyl;

Xaa₂ is an N-alkylated amino acid selected from the group consisting of N-(R³)-alanyl, N-(R³)-glycyl, N-(R³)-norvalyl, and N-(R³)-prolyl, wherein R³ is C₁-C₅-alkyl; or Xaa₂ is an N-unalkylated amino acid selected from the group consisting of

 β -alanyl,

D-alanyl,

4-aminobutryl,

(1R,3S)-1-aminocyclopentane-3-carbonyl,

(1S,3R)-1-aminocyclopentane-3-carbonyl,

(1R,4S)-1-aminocyclopent-2-ene-4-carbonyl,

(1S,4R)-1-aminocyclopent-2-ene-4-carbonyl,

asparaginyl,

3-(4-chlorophenyl)alanyl,

3-(4-cyanophenyl)alanyl,

glutaminyl,

glutamyl,

glycyl,
4-hydroxyprolyl,
3-(4-methylphenyl)alanyl,
prolyl,
seryl, and
threonyl;

Xaa₃ is an N-alkylated amino acid selected from the group consisting of N-(R³)-alanyl, N-(R³)-glycyl, N-(R³)-leucyl, and N-(R³)-phenylalanyl, wherein R³ is as defined above; or Xaa₃ is an N-unalkylated amino acid selected from the group consisting of

alanyl,
(1S,3R)-1-aminocyclopentane-3-carbonyl,
(1S,4R)-1-aminocyclopent-2-ene-4-carbonyl,
asparaginyll,
aspartyl,
3-(3-cyanophenyl)alanyl,
3-(4-cyanophenyl)alanyl,
glutaminyll,
glycyl,
leucyl,
lysyl(N-epsilon-acetyl),
3-(4-methylphenyl)alanyl,
norvalyl,
prolyl, and
phenylalanyl;

Xaa₄ is an N-alkylated amino acid selected from the group consisting of N-(R³)-alanyl, N-(R³)-glycyl, N-(R³)-homophenylalanyl, N-(R³)-isoleucyl, N-(R³)-leucyl, N-(R³)-norvalyl, N-(R³)-phenylalanyl, N-(R³)-D-phenylalanyl, N-(R³)-seryl, N-(R³)-tyrosyl, N-(R³)-valyl, and N-(R³)-D-valyl, wherein R³ is as defined above; or Xaa₄ is an N-unalkylated amino acid selected from the group consisting of

alanyl,
alloisoleucyl,
allylglycyl,
2-aminobutyryl,

tryptyl,

110

tyrosyl,
valyl, and
D-valyl;

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Xaa₅ is an N-alkylated amino acid selected from the group consisting of N-(R³)-D-homophenylalanyl, N-(R³)-D-isoleucyl, N-(R³)-D-leucyl, and N-(R³)-D-phenylalanyl, wherein R³ is as defined above; or Xaa₅ is an N-unalkylated amino acid selected from the group consisting of

120

D-alanyl,
alloisoleucyl,
D-alloisoleucyl,
D-2-aminobutyryl,
D-3-(4-aminophenyl)alanyl,
D-asparaginy,
D-3-(3-benzothienyl)alanyl,
D-*t*-butylglycyl,
125 D-(chlorophenyl)alanyl,
D-citrullyl,
D-3-(3-cyanophenyl)alanyl,
D-cyclohexylalanyl,
cyclohexylglycyl,
130 D-cysteinyl(S-acetamidomethyl),
D-cysteinyl(S-*t*-butyl),
D-3-(3,4-difluorophenyl)alanyl,
D-(3,4-dimethoxyphenyl)alanyl,
D-glutaminyl,
135 glycyl,
D-homophenylalanyl,
D-homoseryl,
isoleucyl,
D-isoleucyl,
140 D-leucyl,
D-lysyl(N-epsilon-nicotinyl),
D-lysyl,
D-methionyl,
D-3-(4-methylphenyl)alanyl,

145 D-3-(naphth-1-yl)alanyl,
 D-3-(naphth-2-yl)alanyl,
 D-3-(4-nitrophenyl)alanyl,
 D-norleucyl,
 D-ornithyl,
 150 D-penicillaminyl(S-acetamidomethyl),
 D-penicillaminyl(S-benzyl),
 D-penicillaminyl(S-methyl),
 D-penicillaminyl,
 D-3-(pentafluorophenyl)alanyl,
 155 D-phenylalanyl,
 D-prolyl,
 D-seryl(O-benzyl),
 D-seryl,
 D-(2-thienyl)alanyl,
 160 D-threonyl(O-benzyl),
 D-threonyl,
 D-3-(3-trifluoromethylphenyl)alanyl,
 D-(3,4,5-trifluorophenyl)alanyl,
 D-tryptyl,
 165 D-tyrosyl(O-ethyl),
 D-tyrosyl, and
 D-valyl;

170 Xaa₆ is an N-alkylated amino acid selected from the group consisting of N-(R³)-
 aspartyl, N-(R³)-glutamyl, N-(R³)-glycyl, N-(R³)-seryl, N-(R³)-threonyl, N-(R³)-
 threonyl(O-benzyl), and N-(R³)-tyrosyl, wherein R³ is as defined above; or Xaa₆ is
 an N-unalkylated amino acid selected from the group consisting of

175 alanyl,
 allothreonyl,
 D-allothreonyl,
 allylglycyl,
 asparaginy,
 180 aspartyl,
 glutaminy,
 glycyl,

185 histidyl,
homoseryl,
D-homoseryl,
3-(4-hydroxymethylphenyl)alanyl,
isoleucyl,
lysyl(N-epsilon-acetyl),
methionyl,
3-(naphth-2-yl)alanyl,
norvalyl,
190 octylglycyl,
prolyl,
3-(3-pyridyl)alanyl,
seryl,
D-seryl,
195 threonyl,
D-threonyl,
tryptyl,
tyrosyl, and
tyrosyl(O-methyl);

200 Xaa₇ is an N-alkylated amino acid selected from the group consisting of N-(R³)-alanyl, N-(R³)-glycyl, N-(R³)-isoleucyl, N-(R³)-leucyl, N-(R³)-D-leucyl, N-(R³)-norleucyl, N-(R³)-norvalyl, N-(R³)-seryl, N-(R³)-threonyl, and N-(R³)-valyl, wherein R³ is as defined above; or Xaa₇ is an N-unalkylated amino acid selected
205 from the group consisting of

210 alanyl,
allothreonyl,
allylglycyl,
3-(4-amidophenyl)alanyl,
2-aminobutyryl,
arginyl,
asparaginyll,
cyclohexylalanyl,
glutaminyl,
215 D-glutaminyl,
glycyl,

220 homoalanyl,
 homoseryl,
 4-hydroxypropyl,
 leucyl,
 D-leucyl,
 lysyl(N-epsilon-acetyl),
 methionyl sulfone,
 methionyl sulfoxide,
 225 methionyl,
 norleucyl,
 norvalyl,
 D-norvalyl,
 octylglycyl,
 230 ornithyl(N-delta-acetyl),
 phenylalanyl,
 propargylglycyl,
 seryl,
 D-seryl,
 235 threonyl,
 tryptyl,
 tyrosyl, and
 valyl;

240 Xaa₈ is an N-alkylated amino acid selected from the group consisting of N-(R³)-
 alanyl, N-(R³)-D-alanyl, N-(R³)-isoleucyl, and N-(R³)-leucyl, wherein R³ is as
 defined above; or Xaa₈ is an N-unalkylated amino acid selected from the group
 consisting of

245 alanyl,
 alloisoleucyl,
 D-alloisoleucyl,
 allylglycyl,
 citrullyl,
 glycyl,
 250 isoleucyl,
 D-isoleucyl,
 leucyl,

255 D-leucyl,
lysyl(N-epsilon-acetyl),
D-lysyl(N-epsilon-acetyl),
methionyl,
3-(naphth-1-yl)alanyl,
norvalyl,
prolyl,
260 D-prolyl, and
valyl;

Xaa₉ is the N-alkylated amino acid N-(R³)-arginyl, wherein R³ is as defined above;
or Xaa₉ is an N-unalkylated amino acid selected from the group consisting of

265 [(4-amino-N-isopropyl)cyclohexyl]alanyl,
3-(4-amino-N-isopropylphenyl)alanyl,
arginyl(N^GN^{G'}diethyl),
arginyl,
D-arginyl,
270 citrullyl,
glutaminyl,
3-(4-guanidinophenyl)alanyl,
histidyl,
homoarginyl,
275 lysyl(N-epsilon-isopropyl),
lysyl(N-epsilon-nicotinyl),
lysyl,
norarginyl,
ornithyl,
280 ornithyl[N-delta-(2-imidazoliny)],
ornithyl(N-delta-isopropyl), and
3-(3-pyridyl)alanyl;

Xaa₁₀ is an N-alkylated amino acid selected from the group consisting of N-(R³)-
285 alanyl, N-(R³)-D-alanyl, N-(R³)-glycyl, N-(R³)-homoalanyl, and N-(R³)-norvalyl,
wherein R³ is as defined above; or Xaa₁₀ is an N-unalkylated amino acid selected
from the group consisting of

D-alanyl,

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2-aminobutyryl,
 D-2-aminobutyryl,
 2-aminoisobutyryl,
 3,4-dehydropyrol,yl,
 4-hydroxyprolyl,
 phenylalanyl,
 prolyl,
 D-prolyl,
 1,2,3,4-tetrahydroisoquinoline-3-carbonyl, and
 D-valyl; and

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Xaa₁₁ is a hydroxy group or an amino acid amide selected from the group consisting of:

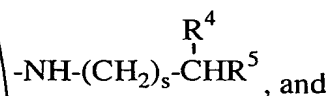
305

alanylamide,
 D-alanylamide,
 alanylethylamide,
 D-alanylethylamide,
 azaglycylamide,
 glycylamide,
 glycylethylamide,
 lysyl(N-epsilon-acetyl),
 D-lysyl(N-epsilon-acetyl),
 N-methyl-D-alanylamide,
 sarcosylamide,
 serylamine,
 D-serylamine,

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a residue represented by the formula



a group represented by the formula $-\text{NH}-\text{R}^6$; wherein

s is an integer from 0 to 8;

R⁴ is selected from the group consisting of hydrogen, alkyl, and a 5- to 6-membered cycloalkyl ring;

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R⁵ is selected from the group consisting of hydrogen, alkoxy, alkyl, aryl, cycloalkenyl, cycloalkyl, heterocycle, and hydroxy; provided that s is not zero when R⁵ is hydroxy or alkoxy; and

R⁶ is selected from hydrogen and hydroxy.

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2. A compound according to Claim 1, wherein Xaa₁ is absent or is selected from the group consisting of

hydrogen,
acetyl,
N-acetyl-β-alanyl,
butyryl,
(4-N-acetylamino)butyryl,
(6-N-acetylamino)caproyl,
(8-N-acetylamino)-3,6-dioxo-octanoyl,
caproyl,
chloronicotinyl,
cyclohexylacetyl,
furoyl,
2-methoxyacetyl,
2-methylnicotinyl,
N-methylprolyl,
nicotinyl,
phenylacetyl,
propionyl,
shikimyl,
succinyl, and
tetrahydrofuroyl.

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3. A compound according to Claim 2, wherein Xaa₁ is absent or is selected from the group consisting of

acetyl,
N-methylprolyl, and
succinyl.

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4. A compound according to Claim 1, wherein Xaa₂ is selected from the group consisting of

N-methylalanyl,
sarcosyl,
N-ethylglycyl,

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10 N-methylnorvalyl,
N-methylprolyl,
β-alanyl,
4-aminobutyryl,
asparaginyll,
glutaminyll,
glutamyl,
glycyl,
15 prolyl,
seryl, and
threonyl.

5. A compound according to Claim 4, wherein Xaa₂ is selected from the group consisting of
sarcosyl, and
N-methylprolyl.

6. A compound according to Claim 1, wherein Xaa₃ is selected from the group consisting of

N-methylalanyl,
sarcosyl,
N-methylleucyl,
N-methylphenylalanyl,
alanyl,
asparaginyll,
aspartyl,
10 glutaminyll,
glycyl,
leucyl,
norvalyl,
prolyl, and
15 phenylalanyl.

7. A compound according to Claim 6, wherein Xaa₃ is selected from the group consisting of
N-methylalanyl, and

glycyl.

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8. A compound according to Claim 1, wherein Xaa₄ is selected from the group consisting of

N-methylalanyl,
sarcosyl,
N-methylhomophenylalanyl,
N-methylisoleucyl,
N-methyllleucyl,
N-methylnorvalyl,
N-methylphenylalanyl,
N-methyl-D-phenylalanyl,
N-methylseryl,
N-methyltyrosyl,
N-methylvalyl,
N-methyl-D-valyl,
3-[2-(5-bromothieryl)]alanyl,
3-(3-chlorophenyl)alanyl,
3-(4-chlorophenyl)alanyl,
3-(3-cyanophenyl)alanyl,
3-(3,4-dimethoxyphenyl)alanyl,
3-(3-fluorophenyl)alanyl,
3-(4-fluorophenyl)alanyl,
3-(4-methylphenyl)alanyl,
3-(naphth-1-yl)alanyl,
3-(naphth-2-yl)alanyl,
3-(3-pyridyl)alanyl,
3-(4-thiazolyl)alanyl,
3-(2-thienyl)alanyl,
alloisoleucyl,
allylglycyl,
2-aminobutyryl,
asparaginyll,
cyclohexylalanyl,
glutaminyl,
glycyl,

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35 histidyl,
homophenylalanyl,
homoseryl,
isoleucyl,
leucyl,
40 lysyl(N-epsilon-acetyl),
methionyl,
methionyl(sulfone),
norornithyl,
norvalyl,
45 phenylalanyl,
phenylglycyl,
prolyl,
seryl,
seryl(O-benzyl),
50 styrylalanyl,
tryptyl,
tyrosyl, and
valyl.

9. A compound according to Claim 8, wherein Xaa₄ is selected from the group consisting of

5 N-methylalanyl,
N-methylisoleucyl,
N-methyllleucyl,
N-methylnorvalyl,
N-methylphenylalanyl,
N-methyl-D-phenylalanyl,
N-methylvalyl,
10 N-methyl-D-valyl,
asparaginyll,
glutaminyl,
isoleucyl,
phenylalanyl, and
15 valyl.

10. A compound according to Claim 1, wherein Xaa₅ is selected from the group consisting of

N-methyl-D-homophenylalanyl,
N-methyl-D-isoleucyl,
N-methyl-D-leucyl,
D-3-(4-aminophenyl)alanyl,
D-3-(3-benzothienyl)alanyl,
D-(chlorophenyl)alanyl,
D-3-(3-cyanophenyl)alanyl,
D-3-(3,4-difluorophenyl)alanyl,
D-(3,4-dimethoxyphenyl)alanyl,
D-3-(4-methylphenyl)alanyl,
D-3-(naphth-1-yl)alanyl,
D-3-(naphth-2-yl)alanyl.
D-3-(4-nitrophenyl)alanyl,
D-3-(pentafluorophenyl)alanyl,
D-3-(3-trifluoromethylphenyl)alanyl,
D-(3,4,5-trifluorophenyl)alanyl,
D-alanyl,
alloisoleucyl,
D-alloisoleucyl,
D-2-aminobutyryl,
D-asparaginy,
D-citrullyl,
D-cyclohexylalanyl,
cyclohexylglycyl,
D-cysteiny,
D-cysteiny,
D-glutaminy,
glycyl,
D-homophenylalanyl,
D-homoseryl,
isoleucyl,
D-isoleucyl,
D-leucyl,
D-lysyl(N-epsilon-nicotiny),

40 D-lysyl,
D-methionyl,
D-norleucyl,
D-ornithyl,
D-penicillaminyl(S-acetamidomethyl),
D-penicillaminyl(S-benzyl),
D-penicillaminyl(S-methyl),
D-penicillaminyl,
45 D-phenylalanyl,
D-prolyl,
D-seryl(O-benzyl),
D-seryl,
D-*t*-butylglycyl,
50 D-(2-thienyl)alanyl,
D-threonyl(O-benzyl),
D-threonyl,
D-tryptyl,
D-tyrosyl(O-ethyl),
55 D-tyrosyl, and
D-valyl.

11. A compound according to Claim 10, wherein Xaa₅ is selected from the group consisting of

5 N-methyl-D-leucyl,
D-alloisoleucyl,
D-isoleucyl,
D-leucyl,
D-homophenylalanyl, and
D-penacillaminyl(S-methyl).

12. A compound according to Claim 1, wherein Xaa₆ is selected from the group consisting of

5 N-methylaspartyl,
N-methylglutamyl,
sarcosyl,
N-methylseryl,

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001001 000000

10 N-methyltyrosyl,
N-methylthreonyl,
N-methylthreonyl(O-benzyl),
alanyl,
3-(4-hydroxymethylphenyl)alanyl,
3-(naphth-2-yl)alanyl,
3-(3-pyridyl)alanyl,
allothreonyl,
15 D-allothreonyl,
allylglycyl,
glutaminy,
glycyl,
histidyl,
20 homoseryl,
D-homoseryl,
isoleucyl,
methionyl,
norvalyl,
25 octylglycyl,
prolyl,
seryl,
D-seryl,
threonyl,
30 D-threonyl,
tryptyl, and
tyrosyl.

13. A compound according to Claim 12, wherein Xaa₆ is selected from the group consisting of

5 N-methylaspartyl,
N-methylglutamyl,
sarcosyl,
N-methylseryl,
N-methyltyrosyl,
N-methylthreonyl,
N-methylthreonyl(O-benzyl),

10 allothreonyl,
 seryl,
 threonyl, and
 tyrosyl.

14. A compound according to Claim 1, wherein Xaa₇ is selected from the group consisting of

 N-methylalanyl,
 sarcosyl,
5 N-methylisoleucyl,
 N-methyllleucyl,
 N-methyl-D-leucyl,
 N-methylnorleucyl,
 N-methylnorvalyl,
10 N-methylseryl,
 N-methylthreonyl,
 N-methylvalyl,
 alanyl,
 allylglycyl,
15 3-(4-amidophenyl)alanyl,
 2-aminobutyryl,
 arginyl,
 asparaginyll,
 cyclohexylalanyl,
20 glutaminyll,
 D-glutaminyll,
 glycyl,
 homoalanyl,
 homoseryl,
25 leucyl,
 D-leucyl,
 lysyl(N-epsilon-acetyl),
 methionyl,
 methionyl sulfone,
30 methionyl sulfoxide,
 norleucyl,

35 norvalyl,
D-norvalyl,
octylglycyl,
ornithyl(N-delta-acetyl),
phenylalanyl,
propargylglycyl,
seryl,
D-seryl,
40 tyrosyl, and
valyl.

15. A compound according to Claim 14, wherein Xaa₇ is selected from the group consisting of

5 N-methylalanyl,
sarcosyl,
N-methylisoleucyl,
N-methyllaucyl,
N-methyl-D-leucyl,
N-methylnorleucyl,
N-methylnorvalyl,
10 N-methylseryl,
N-methylthreonyl,
N-methylvalyl,
norleucyl,
norvalyl, and
15 seryl.

16. A compound according to Claim 1, wherein Xaa₈ is selected from the group consisting of

5 N-methylalanyl,
N-methyl-D-alanyl,
N-methylisoleucyl,
N-methyllaucyl,
3-(naphth-1-yl)alanyl,
alanyl,
allylglycyl,

10 glycyl,
 isoleucyl,
 D-isoleucyl,
 leucyl,
 D-lysyl(N-epsilon-acetyl),
15 methionyl,
 norvalyl,
 prolyl, and
 valyl.

17. A compound according to Claim 16, wherein Xaa₈ is selected from the group consisting of

5 N-methylalanyl,
 N-methyl-D-alanyl,
 N-methylisoleucyl,
 N-methyllleucyl,
 isoleucyl,
 D-isoleucyl, and
10 D-lysyl(N-epsilon-acetyl).

18. The compound according to Claim 1, wherein Xaa₉ is selected from the group consisting of

5 N-methylarginyl,
 [(4-amino-N-isopropyl)cyclohexyl]alanyl,
 3-(4-amino-N-isopropylphenyl)alanyl,
 3-(4-guanidinophenyl)alanyl,
 arginyl,
 arginyl(N^GN^G diethyl),
 citrullyl,
10 2-[4-piperidinyl(N-amidino)]glycyl,
 glutaminyll,
 histidyl,
 homoarginyl,
 lysyl,
15 lysyl(N-epsilon-isopropyl),
 lysyl(N-epsilon-nicotinyl),

norarginyl,
ornithyl,
ornithyl[N-delta-(2-imidazoliny)], and
ornithyl(N-delta-isopropyl).

19. A compound according to Claim 18, wherein Xaa₉ is selected from the group consisting of

arginyl, and
N-methylarginyl.

20. A compound according to Claim 1, wherein Xaa₁₀ is selected from the group consisting of

N-methylalanyl,
sarcosyl,
N-methylhomoalanyl,
N-methylnorvalyl,
D-alanyl,
2-aminobutyryl,
2-aminoisobutyryl,
3,4-dehydroprolyl,
4-hydroxyprolyl,
phenylalanyl,
prolyl,
D-prolyl, and
1,2,3,4-tetrahydroisoquinoline-3-carbonyl.

21. A compound according to Claim 20, wherein Xaa₁₀ is selected from the group consisting of

N-methylalanyl,
sarcosyl,
N-methylnorvalyl, and
prolyl.

22. A compound according to Claim 1, wherein Xaa₁₁ is selected from the group consisting of

alanylamide,

[illegible]

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Xaa₂ is selected from the group consisting of
sarcosyl, and
N-methylprolyl;

Xaa₃ is selected from the group consisting of
N-methylalanyl, and
glycyl;

Xaa₄ is selected from the group consisting of
N-methylalanyl,
N-methylisoleucyl,
N-methylleucyl,
N-methylnorvalyl,
N-methylphenylalanyl,
N-methyl-D-phenylalanyl,
N-methylvalyl,
N-methyl-D-valyl,
asparaginyl,
glutaminyl,
isoleucyl,
phenylalanyl, and
valyl;

Xaa₅ is selected from the group consisting of
N-methyl-D-leucyl,
D-alloisoleucyl,
D-isoleucyl,
D-leucyl,
D-homophenylalanyl, and
D-penacillaminyl(S-methyl);

Xaa₆ is selected from the group consisting of
N-methylaspartyl,
N-methylglutamyl,
sarcosyl,
N-methylseryl,

45

N-methyltyrosyl,
N-methylthreonyl,
N-methylthreonyl(O-benzyl),
allothreonyl,
seryl,
threonyl, and
tyrosyl;

50

Xaa₇ is selected from the group consisting of

N-methylalanyl,
sarcosyl,
N-methylisoleucyl,
N-methylleucyl,
N-methyl-D-leucyl,
N-methylnorleucyl,
N-methylnorvalyl,
N-methylseryl,
N-methylthreonyl,
N-methylvalyl,
norleucyl,
norvalyl, and
seryl;

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Xaa₈ is selected from the group consisting of

N-methylalanyl,
N-methyl-D-alanyl,
N-methylisoleucyl,
N-methylleucyl,
isoleucyl,
D-isoleucyl, and
D-lysyl(N-epsilon-acetyl);

70

75

Xaa₉ is selected from the group consisting of

arginyl, and
N-methylarginyl;

80

Xaa₁₀ is selected from the group consisting of

N-methylalanyl,
sarcosyl,
N-methylnorvalyl, and
prolyl; and

85

Xaa₁₁ is selected from the group consisting of

NH-ethyl, and
D-alanylamide.

25. A compound according to Claim 24 wherein Xaa₁ is selected from the group consisting of

acetyl, and
succinyl.

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26. A compound according to Claim 24 wherein Xaa₂ is sarcosyl.

27. A compound according to Claim 24 wherein Xaa₄ is selected from the group consisting of

N-methyleucyl,
N-methylnorvalyl,
N-methylphenylalanyl,
N-methyl-D-phenylalanyl, and
valyl.

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28. A compound according to Claim 24 wherein Xaa₅ is selected from the group consisting of

N-methyl-D-leucyl,
D-alloisoleucyl,
D-isoleucyl, and
D-leucyl;

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29. A compound according to Claim 24 wherein Xaa₆ is selected from the group consisting of

sarcosyl,
N-methylseryl,

5 N-methyltyrosyl,
allothreonyl,
seryl, and
threonyl.

30. A compound according to Claim 24 wherein Xaa₇ is selected from the group consisting of

5 N-methylalanyl,
N-methylnorvalyl,
N-methylvalyl, and
norvalyl.

31. A compound according to Claim 24 wherein Xaa₈ is selected from the group consisting of

5 N-methyllaucyl, and
isoleucyl.

32. A compound according to Claim 24 wherein Xaa₉ is arginyl.

33. A compound according to Claim 24 wherein Xaa₁₀ is selected from the group consisting of

5 N-methylalanyl, and
prolyl.

34. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

35. A method of treating a patient in need of anti-angiogenesis therapy comprising administering to the patient in need a therapeutically effective amount of a compound of Claim 1.

36. A composition for the treatment of a disease selected from cancer, arthritis, psoriasis, angiogenesis of the eye associated with infection or surgical intervention, macular degeneration and diabetic retinopathy comprising a compound of Claim 1 in combination with a pharmaceutically acceptable carrier.

5

37. A method of isolating a receptor from an endothelial cell comprising binding compound of Claim 1 to the receptor to form a peptide receptor complex; isolating the peptide receptor complex; and purifying the receptor.

38. A compound, or a pharmaceutically acceptable salt thereof, selected from the group consisting of

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-SarNH-ethyl,

N-Succinyl-Sar-Gly-Val-D-Leu-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

5 N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-NMeArg-ProNH-ethyl,

N-Ac-Sar-Gly-NMeVal-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-NMeIle-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-NMeAla-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-MePro-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

10 N-Ac-Sar-Gly-Val-D-Ile-NMeThr(Bzl)-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Sar-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeLeu-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-alloIle-Thr-NMeVal-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeVal-Ile-Arg-Pro-D-AlaNH₂,

15 N-Ac-Sar-Gly-Val-D-Ile-NMeThr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-alloIle-Thr-NMeSer-Ile-Arg-Pro-D-AlaNH₂,

N-Ac-Sar-Gly-Phe-D-Ile-Thr-NMeVal-Ile-Arg-Pro-D-AlaNH₂,

N-Ac-Sar-Gly-Val-D-alloIle-Tyr-NMeNva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-alloIle-Tyr-NMeVal-Ile-Arg-ProNH-ethyl,

20 N-Ac-Sar-Gly-Gln-D-Ile-Thr-NMeNva-Ile-Arg-Pro-D-AlaNH₂,

N-Ac-Sar-Gly-Val-D-alloIle-NMeThr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeSer-Ile-Arg-Pro-D-AlaNH₂,

N-Ac-Sar-Gly-NMeVal-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH₂,

N-Ac-Sar-Gly-NMeVal-D-alloIle-Thr-Nva-Ile-Arg-ProNH-ethyl,

25 N-Ac-Sar-Gly-Val-D-HpHe-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-HpHe-Thr-NMeVal-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Pen(SMe)-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Pen(SMe)-Thr-NMeVal-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-NMeNvaNH-ethyl,

30 N-Ac-Sar-Gly-Val-NMe-D-Leu-Ser-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Asn-NMe-D-Leu-Ser-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Asn-D-Leu-NMeSer-Nva-Ile-Arg-ProNH-ethyl,

NAc-Sar-Gly-Val-D-Ile-Thr-NMeNle-Ile-Arg-ProNH-ethyl,

35 NAc-Sar-Gly-Val-D-Ile-Sar-Nva-Ile-Arg-ProNH-ethyl,

NAC-Sar-Gly-Val-D-alloIle-Sar-Nva-Ile-Arg-ProNH-ethyl,

NAc-Sar-Gly-Val-D-Ile-Thr-Nva-NMeAla-Arg-ProNH-ethyl,

NAc-Sar-Gly-Val-D-Ile-NMeAsp-Nva-Ile-Arg-ProNH-ethyl,

NAc-Sar-Gly-Val-D-Ile-Thr-NMe-D-Leu-Ile-Arg-ProNH-ethyl,

40 NAc-Sar-Gly-Val-D-Ile-NMeGlu-Nva-Ile-Arg-ProNH-ethyl,

Nac-Sar-Gly-NMe-D-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

$$\text{NAC-Sar-Gly-NMe-D-Phe-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH}_2$$

NAc-Sar-Gly-Val-D-Ile-Thr-Nva-NMeLeu-Arg-ProNH-ethyl,

NAc-Sar-Gly-Asn-D-Leu-NMeSer-Nva-Ile-Arg-ProNH-ethyl,

45 NAc-Sar-Gly-Val-D-alloIle-NMeSer-Ser-Ile-Arg-ProNH-ethyl,

NAc-Sar-Gly-Val-D-Ile-Thr-Nva-NMe-D-Ala-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeNva-D-Ile-Arg-ProNH-ethyl,

N^{ac}-Sar-Gly-Val-D-Ile-alloThr-NMeNva-Ile-Arg-ProNH-ethyl,

NAC-Sar-Gly-Gln-D-Ile-Thr-NMeNva-D-Ile-Arg-ProNH-ethyl,

50 NAc-Sar-Gly-Gln-D-alloIle-NMeTyr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Gln-D-alloIle-NMeTyr-Nva-D-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Phe-D-Ile-Thr-NMeNva-Ile-Arg-Pro-D-AlaNH₂, and

NMePro-Gly-Ile-D-Ile-Thr-NMeNva-Ile-Arg-ProNH-ethyl.

39. A compound or a pharmaceutically acceptable salt thereof, selected from the group consisting of

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-NMeIle-Arg-ProNH-ethyl,

5 N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeAla-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeVal-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-NMeAlaNH-ethyl,

N-Succinyl-Sar-Gly-Val-D-Ile-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-NMeAla-Val-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

10 N-Ac-Sar-Gly-NMePhe-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-NMeNva-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-Leu-Sar-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-NMeLeu-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,

N-Ac-Sar-Gly-Val-D-alloIle-Thr-NMeNva-Ile-Arg-ProNH-ethyl,

- 15 N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeNva-Ile-Arg-Pro-D-AlaNH₂,
 N-Ac-Sar-Gly-Val-D-Ile-NMeSer-Nva-Ile-Arg-ProNH-ethyl,
 N-Ac-Sar-Gly-Val-D-Leu-NMeSer-Nva-Ile-Arg-ProNH-ethyl,
 N-Ac-Sar-Gly-Val-D-Leu-Ser-NMeNva-Ile-Arg-ProNH-ethyl,
 N-Ac-Sar-Gly-Val-D-alloIle-Ser-NMeSer-Ile-Arg-ProNH-ethyl,
 20 N-Ac-Sar-Gly-Val-D-alloIle-Thr-NMeSer-Ile-Arg-ProNH-ethyl,
 N-Ac-Sar-Gly-Val-D-Ile-Thr-NMeSer-Ile-Arg-ProNH-ethyl,
 N-Ac-Sar-Gly-Val-D-alloIle-NMeSer-Ser-Ile-Arg-ProNH-ethyl,
 NAc-Sar-Gly-Val-NMe-D-Leu-Thr-Nva-Ile-Arg-ProNH-ethyl,
 NAc-Sar-Gly-NMeNva-D-alloIle-Thr-Nva-Ile-Arg-ProNH-ethyl,
 25 NAc-Sar-Gly-NMePhe-D-Ile-Thr-Nva-Ile-Arg-Pro-D-AlaNH₂,
 NAc-Sar-Gly-Val-D-Ile-alloThr-NMeNle-Ile-Arg-ProNH-ethyl,
 NAc-Sar-Gly-NMe-DPhe-D-Ile-Thr-Nva-Ile-Arg-ProNH-ethyl,
 NAc-Sar-Gly-Val-D-alloIle-Ser-NMeSer-Ile-Arg-Pro-D-AlaNH₂,
 NAc-Sar-Gly-Val-D-alloIle-NMeTyr-Nva-Ile-Arg-ProNH-ethyl, and
 30 NAc-Sar-Gly-Val-D-Ile-Thr-NMeNva-DLys(Ac)-Arg-ProNH-ethyl.